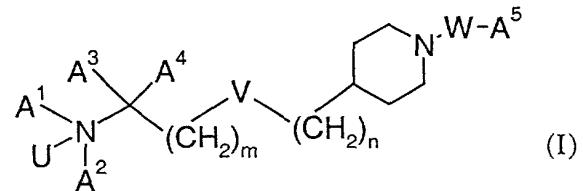


Claims

1. A compound selected from the group consisting of compounds of formula (I)



wherein

U is O or a lone pair;

V is O, -CH<sub>2</sub>-, -CH=CH-, or -C≡C-;

m and n are each integers from 0 to 7 and m+n is 0 to 7;

W is CO, COO, CONR<sup>1</sup>, CSO, CSNR<sup>1</sup>, SO<sub>2</sub>, or SO<sub>2</sub>NR<sup>1</sup>, with the provisos that:

- a) V is not -CH<sub>2</sub>- when W is CO,
- b) m+n is 1 or 2 when V is -CH<sub>2</sub>- and W is SO<sub>2</sub>,
- c) m=n=0 when V is -CH=CH- and W is CO or SO<sub>2</sub>,
- d) m is 1 to 7 when V is O, and
- e) m is 1 to 3 when V is O, W is CO or SO<sub>2</sub>, and n is 0;

A<sup>1</sup> is H, lower-alkyl or lower-alkenyl,

A<sup>2</sup> is cycloalkyl, cycloalkyl-lower-alkyl, lower-alkenyl, lower-alkynyl or lower-alkyl optionally substituted with hydroxy, lower-alkoxy or lower-alkoxy-carbonyl, or

A<sup>1</sup> and A<sup>2</sup> bond together to form -A<sup>1</sup>-A<sup>2</sup>-, wherein -A<sup>1</sup>-A<sup>2</sup>- is lower-alkylene or lower-alkenylene, optionally substituted by R<sup>2</sup>, and one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>2</sup>- is optionally replaced by NR<sup>3</sup>, S, or O;

A<sup>3</sup> and A<sup>4</sup> are independently hydrogen or lower-alkyl;

A<sup>5</sup> is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;

R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(R<sup>4</sup>,R<sup>5</sup>);

R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are independently hydrogen or lower-alkyl; and

When A<sup>1</sup> is not bonded to A<sup>2</sup>, A<sup>1</sup> and A<sup>3</sup> optionally bond together to form -A<sup>1</sup>-A<sup>3</sup>-, wherein -A<sup>1</sup>-A<sup>3</sup>- is lower-alkylene or lower-alkenylene, optionally substituted by R<sup>2</sup>, and one -CH<sub>2</sub>- group of -A<sup>1</sup>-A<sup>3</sup>- is optionally replaced by NR<sup>3</sup>, S, or O;

pharmaceutically acceptable salts of the compounds of formula (I), and

pharmaceutically acceptable esters of the compounds of formula (I).

2. The compound according to claim 1, wherein U is a lone pair.
3. The compound according to claim 2, wherein V is O.
4. The compound according to claim 2, wherein V is -C≡C-.
5. The compound according to claim 2, wherein V is -CH<sub>2</sub>-.
6. The compound according to claim 2, wherein W is CO, COO, CONH, SO<sub>2</sub>, or SO<sub>2</sub>NH.
7. The compound according to claim 6, wherein W is CO, COO, or SO<sub>2</sub>NH.
8. The compound according to claim 6, wherein W is SO<sub>2</sub>.
9. The compound according to claim 6, wherein W is CO.
10. The compound according to claim 2, wherein n is 0 to 2.
11. The compound according to claim 10, wherein n is 0.
12. The compound according to claim 2, wherein m is 1 to 5.
13. The compound according to claim 2, wherein m is 0 to 2.
14. The compound according to claim 2, wherein A<sup>1</sup> is methyl, ethyl or 2-propenyl.

15. The compound according to claim 14, wherein A<sup>2</sup> is methyl, n-propyl, i-propyl, n-butyl, 2-propenyl, 2-propinyl, cyclopropyl, cyclohexyl, cyclopropyl-methylene; or ethyl optionally substituted with hydroxy, methoxy, or ethoxycarbonyl.

16. The compound according to claim 15, wherein A<sup>2</sup> is n-propyl, 2-hydroxy-ethyl, 2-methoxy-ethyl, 2-propenyl, or cyclopropyl.

17. The compound according to claim 2, wherein A<sup>1</sup> and A<sup>2</sup> are bonded together to form -A<sup>1</sup>-A<sup>2</sup>-, wherein R<sup>2</sup> is lower-alkyl, hydroxy, hydroxy-lower-alkyl, or N(lower-alkyl)<sub>2</sub>, and R<sup>3</sup> is lower-alkyl.

18. The compound according to claim 17, wherein R<sup>2</sup> is methyl, hydroxy, 2-hydroxy-ethyl, or N(CH<sub>3</sub>)<sub>2</sub>, and R<sup>3</sup> is methyl.

19. The compound according to claim 2, wherein A<sup>3</sup> is hydrogen.

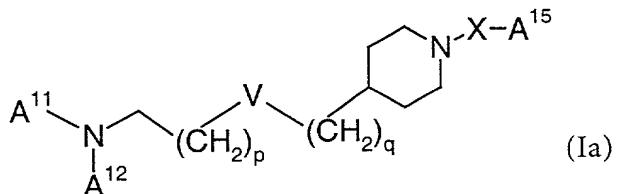
20. The compound according to claims 19, wherein A<sup>4</sup> is hydrogen.

21. The compound according to claim 2, wherein A<sup>5</sup> is lower-alkyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine and chlorine; lower-alkenyl, cycloalkyl, cycloalkyl-lower-alkyl, lower-alkoxy-carbonyl-lower-alkyl, naphthyl, furyl-methylene; or phenyl, benzyl or phenyl-ethylene, optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine, chlorine, bromine, CN, CF<sub>3</sub>, NO<sub>2</sub>, lower-alkyl, lower-alkoxy, thio-lower-alkoxy, lower-alkyl-carbonyl, lower-alkoxy-carbonyl, and dioxo-lower-alkylene.

22. The compound according to claim 21, wherein A<sup>5</sup> is lower-alkyl, cycloalkyl-lower-alkyl; or phenyl or benzyl optionally substituted by 1 to 3 substituents selected from the group consisting of fluorine, chlorine, bromine, and CF<sub>3</sub>.

23. The compound according to claim 22, wherein A<sup>5</sup> is n-butyl, i-butyl, cyclohexyl-methylene, phenyl, 4-chloro-phenyl, 4-bromo-phenyl, 2,5-difluoro-phenyl, 3,4-difluoro-phenyl, 4-trifluoromethyl-phenyl, or 4-chloro-benzyl.

24. A compound selected from the group consisting of compounds of formula (Ia)



wherein

V is O, -CH<sub>2</sub>-, -CH=CH-, or -C≡C-;

p is an integer from 0 to 5;

q 0, 1 or 2;

X is CO, COO, SO<sub>2</sub>, or SO<sub>2</sub>NH, with the provisos that:

a) V is not -CH<sub>2</sub>- when X is CO,

b) p+q is 1 or 2 when V is -CH<sub>2</sub>- and X is SO<sub>2</sub>,

c) p=q=0 when V is -CH=CH- and X is CO or SO<sub>2</sub>,

d) p is 1 to 5 when V is O, and

e) p is 1 to 3 when V is O, X is CO or SO<sub>2</sub>, and q is 0;

A<sup>11</sup> is methyl or ethyl;

A<sup>12</sup> is cyclopropyl, lower-alkenyl, or lower-alkyl optionally substituted with hydroxy or lower-alkoxy; and

A<sup>15</sup> is lower-alkyl optionally substituted with halogen, lower-alkenyl, lower-alkoxy-carbonyl-lower-alkyl, cycloalkyl, cycloalkyl-lower-alkyl, aryl, aryl-lower-alkyl, heteroaryl, or heteroaryl-lower-alkyl;

pharmaceutically acceptable salts of the compounds of formula (Ia), and

pharmaceutically acceptable esters of the compounds of formula (Ia).

25. The compound of claim 24, wherein A<sup>12</sup> is cyclopropyl, lower alkenyl of 2 to 4 carbon atoms, lower alkyl of 1 to 4 carbon atoms, lower alkoxy of 1 to 4 carbon atoms or a lower alkyl substituted with a lower-alkoxy having a total of 2 to 4 carbon atoms.

26. The compound of claim 25, wherein A<sup>15</sup> is lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, aryl or aryl-lower-alkyl.

27. The compound of claim 26, wherein V is O.
28. The compound of claim 27, wherein X is CO.
29. The compound of claim 28, wherein n is 0.
30. The compound of claim 29, selected from the group consisting of {4-[4-(allyl-methyl-amino)-butoxy]-piperidin-1-yl}-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
31. The compound of claim 28, wherein n is 1.
32. The compound of claim 31, selected from the group consisting of {4-[4-(allyl-methyl-amino)-butoxymethyl]-piperidin-1-yl}-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
33. The compound of claim 31, selected from the group consisting of {4-[3-(allyl-methyl-amino)-propoxymethyl]-piperidin-1-yl}-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
34. The compound of claim 28, wherein n is 2.
35. The compound of claim 34, selected from the group consisting of 1-(4-{2-[4-(allyl-methyl-amino)-butoxy]-ethyl}-piperidin-1-yl)-2-(4-chloro-phenyl)-ethanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
36. The compound of claim 34, selected from the group consisting of (4-{2-[4-(allyl-methyl-amino)-butoxy]-ethyl}-piperidin-1-yl)-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
37. The compound of claim 34, selected from the group consisting of (4-{2-[4-(allyl-methyl-amino)-ethoxy]-ethyl}-piperidin-1-yl)-(4-chloro-phenyl)-methanone, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
38. The compound of claim 27, wherein X is COO.
39. The compound of claim 38, selected from the group consisting of 4-{3-[ethyl-(2-hydroxy-ethyl)-amino]-propoxymethyl}-piperidine-1-carboxylic acid 4-chloro-phenyl

ester, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

40. The compound of claim 38, selected from the group consisting of 4-[4-(allyl-methyl-amino)-butoxymethyl]-piperidine-1-carboxylic acid 4-chloro-phenyl ester, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
41. The compound of claim 38, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-carboxylic acid isobutyl ester, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
42. The compound of claim 27, wherein X is  $\text{SO}_2$ .
43. The compound of claim 42, selected from the group consisting of allyl-{4-[1-(4-chloro-benzenesulfonyl)-piperidin-4-yloxy]-butyl}-methyl-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
44. The compound of claim 42, selected from the group consisting of allyl-{3-[1-(4-bromo-benzenesulfonyl)-piperidin-4-yloxy]-propyl}-methyl-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
45. The compound of claim 27, wherein X is  $\text{SO}_2\text{NH}$ .
46. The compound of claim 45, wherein  $\text{A}^{15}$  is lower alkyl.
47. The compound of claim 46, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid butylamide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
48. The compound of claim 45, wherein  $\text{A}^{15}$  is cycloalkyl-lower alkyl.
49. The compound of claim 48, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid cyclohexylmethyl-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
50. The compound of claim 45, wherein  $\text{A}^{15}$  is phenyl.
51. The compound of claim 50, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
52. The compound of claim 45, wherein  $\text{A}^{15}$  is phenyl substituted with at least one halogen.

53. The compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-chloro-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

54. The compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-bromo-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

55. The compound of claim 52, selected from the group consisting of 4-[6-(cyclopropyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (3,4-difluoro-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

56. The compound of claim 52, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (2,5-difluoro-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

57. The compound of claim 45, wherein A<sup>15</sup> is phenyl substituted with trifluoromethyl.

58. The compound of claim 57, selected from the group consisting of 4-[6-(allyl-methyl-amino)-hexyloxy]-piperidine-1-sulfonic acid (4-trifluoromethyl-phenyl)-amide, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

59. The compound of claim 26, wherein V is S.

60. The compound of claim 26, wherein V is -CH<sub>2</sub>-.

61. The compound of claim 60, selected from the group consisting of methyl-propyl-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-butyl}-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

62. The compound of claim 26, wherein V is -CH=CH-.

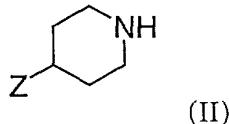
63. The compound of claim 26, wherein V is -C≡C-.

64. The compound of claim 63, wherein X is CO.

65. The compound of claim 64, selected from the group consisting of (4-chloro-phenyl)-{4-[4-(methyl-propyl-amino)-but-1-ynyl]-piperidin-1-yl}-methanone,

pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.

66. The compound of claim 63, wherein X is COO.
67. The compound of claim 63, wherein X is SO<sub>2</sub>.
68. The compound of claim 67, selected from the group consisting of methyl-propyl-{3-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-prop-2-ynyl}-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
69. The compound of claim 67, selected from the group consisting of 2-(ethyl-{5-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-pent-4-ynyl}-amino)-ethanol, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
70. The compound of claim 67, selected from the group consisting of 2-(ethyl-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-but-3-ynyl}-amino)-ethanol, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
71. The compound of claim 67, selected from the group consisting of ethyl-(2-methoxyethyl)-{4-[1-(4-trifluoromethyl-benzenesulfonyl)-piperidin-4-yl]-but-3-ynyl}-amine, pharmaceutically acceptable salts thereof and pharmaceutically acceptable esters thereof.
72. The compound of claim 63, wherein X is SO<sub>2</sub>NH.
73. A process for the manufacture of compounds according to claim 1, which process comprises reacting a compound of formula (II)



wherein Z is (A<sup>1</sup>,A<sup>2</sup>)N-C(A<sup>3</sup>,A<sup>4</sup>)-(CH<sub>2</sub>)<sub>m</sub>-V-(CH<sub>2</sub>)<sub>n</sub>-, X-CH<sub>2</sub>-(CH<sub>2</sub>)<sub>m</sub>-V-(CH<sub>2</sub>)<sub>n</sub>-, HO(CH<sub>2</sub>)<sub>n</sub>-, or HOOC(CH<sub>2</sub>)<sub>n</sub>-, wherein X is chlorine, bromine, iodine, methanesulfonyl, or toluenesulfonyl, and A<sup>1</sup>, A<sup>2</sup>, A<sup>3</sup>, A<sup>4</sup>, V, m and n are as defined in claim 1, with ClSO<sub>2</sub>-A<sup>5</sup>, ClCOO-A<sup>5</sup>, ClCSO-A<sup>5</sup>, OCN-A<sup>5</sup>, SCN-A<sup>5</sup>, HOOC-A<sup>5</sup>, or ClSO<sub>2</sub>NR<sup>1</sup>-A<sup>5</sup>, wherein A<sup>5</sup> is as defined in claim 1.

74. A pharmaceutical composition comprising a compound according to claim 1 and at least one of a pharmaceutically acceptable carrier or a pharmaceutically acceptable adjuvant.

75. A method for the treatment and/or prophylaxis of diseases which are associated with OSC such as hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycoses, parasite infections, gallstones, tumors and/or hyperproliferative disorders, and/or treatment and/or prophylaxis of impaired glucose tolerance and diabetes, which method comprises administering a compound according to claim 1 to a human being or animal.

\*\*\*